10

15

20

1. An organic azide compound having the formula:

wherein Ar is an aromatic or a heteroaromatic radical derived from the group consisting of benzenes, polyfluorobenzenes, naphthalenes, naphthoquinones, anthracenes, anthraquinones, phenanthrenes, tetracenes, naphthacenediones, pyridines, quinolines, isoquinolines, indoles, isoindoles, pyrroles, imidiazoles, pyrazoles, pyrazines, purines, benzimidazoles, benzofurans, dibenzofurans, carbazoles, acridines, acridones, phenanthridines, thiophenes, benzothiophenes, dibenzothiophenes, xanthenes, xanthones, flavones, coumarins, and anthacylines;

E is selected from the group consisting of somatostatin receptor binding molecules, ST receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, CCK receptor binding molecules, steroid receptor binding molecules, and carbohydrate receptor binding molecules;

L is selected from the group consisting of  $-(CH_2)_a$ -, -  $(CH_2)_bCONR^1$ -,  $-N(R^2)CO(CH_2)_c$ -,  $-OCO(CH_2)_d$ -,  $-(CH_2)_eCO_2$ -, -OCONH-, -  $OCO_2$ -, -HNCONH-, -HNCSNH-, -HNNHCO-,  $-OSO_2$ -,  $-NR^3(CH_2)_eCONR^4$ -, -  $CONR^5(CH_2)_fNR^6CO$ -, and  $-NR^7CO(CH_2)_gCONR^8$ -;

X is either a single bond or is selected from the group consisting of  $-(CH_2)_h^-$ ,  $-OCO_+$ ,  $-HNCO_+$ ,  $-(CH_2)_i^-CO_+$ , and  $-(CH_2)_i^-OCO_+$ ;

5

10

R<sup>1</sup> to R<sup>8</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, -OH, C1-C10 polyhydroxyalkyl, C1-C10 alkoxyl, C1-C10 alkoxyalkyl, -SO<sub>3</sub>H, -(CH<sub>2</sub>)<sub>k</sub>CO<sub>2</sub>H, and -(CH<sub>2</sub>)<sub>l</sub>NR<sup>9</sup>R<sup>10</sup>;

R<sup>9</sup> and R<sup>10</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C5-C10 aryl, and C1-C10 polyhydroxyalkyl; and

subscripts a to I independently range from 0 to 10.

2. The compound of claim 1 wherein Ar is an aromatic or heteroaromatic radical derived from polyfluorbenzenes; E is selected from the group consisting of somatostatin receptor binding molecules, ST receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, CCK receptor binding molecules, and steroid receptor binding molecules; L is selected from the group consisting of -(CH<sub>2</sub>)<sub>b</sub>CONR<sup>1</sup>-, -N(R<sup>2</sup>)CO(CH<sub>2</sub>)<sub>c</sub>-, -OCO(CH<sub>2</sub>)<sub>d</sub>-, -(CH<sub>2</sub>)<sub>e</sub>CO<sub>2</sub>-, -HNCONH-, -HNCSNH-, and -NR<sup>7</sup>CO(CH<sub>2</sub>)<sub>g</sub>CONR<sup>8</sup>-; X is either a single bond or is selected from the group consisting of -(CH<sub>2</sub>)<sub>h</sub>-, -OCO-, -(CH<sub>2</sub>)<sub>i</sub>CO-, and -(CH<sub>2</sub>)<sub>j</sub>OCO-., R<sup>1</sup>, R<sup>2</sup>, R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C1-C10 polyhydroxyalkyl, -(CH<sub>2</sub>)<sub>k</sub>CO<sub>2</sub>H, and -(CH<sub>2</sub>)<sub>i</sub>NR<sup>9</sup>R<sup>10</sup>; R<sup>9</sup> and R<sup>10</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, and C1-C10 polyhydroxyalkyl; and subscripts b-e and g-j independently range from 0 to 6.

10

5

- heteroaromatic radical derived from anthraquinones; E is selected from the group consisting of somatostatin receptor binding molecules, ST receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, CCK receptor binding molecules, and steroid receptor binding molecules; L is selected from the group consisting of (CH<sub>2</sub>)<sub>b</sub>CONR<sup>1</sup>-, -N(R<sup>2</sup>)CO(CH<sub>2</sub>)<sub>c</sub>-, -OCO(CH<sub>2</sub>)<sub>d</sub>-, -(CH<sub>2</sub>)<sub>e</sub>CO<sub>2</sub>-, -HNCONH-, HNCSNH-, and -NR<sup>7</sup>CO(CH<sub>2</sub>)<sub>g</sub>CONR<sup>8</sup>-; X is either a single bond or is selected from the group consisting of -(CH<sub>2</sub>)<sub>h</sub>-, -OCO-, -(CH<sub>2</sub>)<sub>i</sub>CO-, and -(CH<sub>2</sub>)<sub>i</sub>OCO-., R<sup>1</sup>, R<sup>2</sup>, R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C1-C10 polyhydroxyalkyl, -(CH<sub>2</sub>)<sub>k</sub>CO<sub>2</sub>H, and (CH<sub>2</sub>)<sub>i</sub>NR<sup>9</sup>R<sup>10</sup>; R<sup>9</sup> and R<sup>10</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, and C1-C10 polyhydroxyalkyl; and subscripts b-e and g-j independently range from 0 to 6.
- 4. The compound of claim 1 wherein Ar is an aromatic or heteroaromatic radical derived from napthacenediones; E is selected from the group consisting of somatostatin receptor binding molecules, ST receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, CCK receptor binding molecules, and steroid receptor binding molecules; L is selected from the group consisting of -(CH<sub>2</sub>)<sub>b</sub>CONR<sup>1</sup>-, -N(R<sup>2</sup>)CO(CH<sub>2</sub>)<sub>c</sub>-, -OCO(CH<sub>2</sub>)<sub>d</sub>-, -(CH<sub>2</sub>)<sub>e</sub>CO<sub>2</sub>-, -HNCONH-, -HNCSNH-, and -NR<sup>7</sup>CO(CH<sub>2</sub>)<sub>a</sub>CONR<sup>8</sup>-; X is either a single bond or is selected

5

10

from the group consisting of -(CH<sub>2</sub>)<sub>h</sub>-, -OCO-, -(CH<sub>2</sub>)<sub>i</sub>CO-, and -(CH<sub>2</sub>)<sub>j</sub>OCO-.,  $R^1$ ,  $R^2$ ,  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C1-C10 polyhydroxyalkyl, -(CH<sub>2</sub>)<sub>k</sub>CO<sub>2</sub>H, and -(CH<sub>2</sub>)<sub>i</sub>NR<sup>9</sup>R<sup>10</sup>;  $R^9$  and  $R^{10}$  are independently selected from the group consisting of hydrogen, C1-C10 alkyl, and C1-C10 polyhydroxyalkyl; and subscripts b-e and g-j independently range from 0 to 6.

heteroaromatic radical derived from indoles; E is selected from the group consisting of somatostatin receptor binding molecules, ST receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, CCK receptor binding molecules, and steroid receptor binding molecules; L is selected from the group consisting of -(CH<sub>2</sub>)<sub>b</sub>CONR<sup>1</sup>-, -N(R<sup>2</sup>)CO(CH<sub>2</sub>)<sub>c</sub>-, -OCO(CH<sub>2</sub>)<sub>d</sub>-, -(CH<sub>2</sub>)<sub>e</sub>CO<sub>2</sub>-, -HNCONH-, -HNCSNH-, and -NR<sup>7</sup>CO(CH<sub>2</sub>)<sub>g</sub>CONR<sup>8</sup>-; X is either a single bond or is selected from the group consisting of -(CH<sub>2</sub>)<sub>h</sub>-, -OCO-, -(CH<sub>2</sub>)<sub>i</sub>CO-, and -(CH<sub>2</sub>)<sub>j</sub>OCO-., R<sup>1</sup>, R<sup>2</sup>, R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C1-C10 polyhydroxyalkyl, -(CH<sub>2</sub>)<sub>k</sub>CO<sub>2</sub>H, and -(CH<sub>2</sub>)<sub>i</sub>NR<sup>9</sup>R<sup>10</sup>; R<sup>9</sup> and R<sup>10</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, and C1-C10 polyhydroxyalkyl; and subscripts b-e and g-j independently range from 0 to 6.

10

5

- heteroaromatic radical derived from acridines; E is selected from the group consisting of somatostatin receptor binding molecules, ST receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, CCK receptor binding molecules, and steroid receptor binding molecules; L is selected from the group consisting of -(CH<sub>2</sub>)<sub>b</sub>CONR<sup>1</sup>-, -N(R<sup>2</sup>)CO(CH<sub>2</sub>)<sub>c</sub>-, -OCO(CH<sub>2</sub>)<sub>d</sub>-, -(CH<sub>2</sub>)<sub>e</sub>CO<sub>2</sub>-, -HNCONH-, -HNCSNH-, and -NR<sup>7</sup>CO(CH<sub>2</sub>)<sub>g</sub>CONR<sup>8</sup>-; X is either a single bond or is selected from the group consisting of -(CH<sub>2</sub>)<sub>h</sub>-, -OCO-, -(CH<sub>2</sub>)<sub>i</sub>CO-, and -(CH<sub>2</sub>)<sub>j</sub>OCO-., R<sup>1</sup>, R<sup>2</sup>, R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C1-C10 polyhydroxyalkyl, -(CH<sub>2</sub>)<sub>k</sub>CO<sub>2</sub>H, and -(CH<sub>2</sub>)<sub>i</sub>NR<sup>9</sup>R<sup>10</sup>; R<sup>9</sup> and R<sup>10</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, and C1-C10 polyhydroxyalkyl; and subscripts b-e and g-j independently range from 0 to 6.
- heteroaromatic radical derived from acridones; E is selected from the group consisting of somatostatin receptor binding molecules, ST receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, CCK receptor binding molecules, and steroid receptor binding molecules; L is selected from the group consisting of -(CH<sub>2</sub>)<sub>b</sub>CONR<sup>1</sup>-, -N(R<sup>2</sup>)CO(CH<sub>2</sub>)<sub>c</sub>-, -OCO(CH<sub>2</sub>)<sub>d</sub>-, -(CH<sub>2</sub>)<sub>e</sub>CO<sub>2</sub>-, -HNCONH-, -HNCSNH-, and -NR<sup>7</sup>CO(CH<sub>2</sub>)<sub>g</sub>CONR<sup>8</sup>-; X is either a single bond or is selected from the group

5

10

consisting of -(CH<sub>2</sub>)<sub>h</sub>-, -OCO-, -(CH<sub>2</sub>)<sub>i</sub>CO-, and -(CH<sub>2</sub>)<sub>j</sub>OCO-., R<sup>1</sup>, R<sup>2</sup>, R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C1-C10 polyhydroxyalkyl, -(CH<sub>2</sub>)<sub>k</sub>CO<sub>2</sub>H, and -(CH<sub>2</sub>)<sub>i</sub>NR<sup>9</sup>R<sup>10</sup>; R<sup>9</sup> and R<sup>10</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, and C1-C10 polyhydroxyalkyl; and subscripts b-e and g-j independently range from 0 to 6.

8. The compound of claim 1 wherein Ar is an aromatic or heteroaromatic radical derived from phenanthridines; E is selected from the group consisting of somatostatin receptor binding molecules, ST receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, CCK receptor binding molecules, and steroid receptor binding molecules; L is selected from the group consisting of - (CH<sub>2</sub>)<sub>b</sub>CONR<sup>1</sup>-, -N(R<sup>2</sup>)CO(CH<sub>2</sub>)<sub>c</sub>-, -OCO(CH<sub>2</sub>)<sub>d</sub>-, -(CH<sub>2</sub>)<sub>e</sub>CO<sub>2</sub>-, -HNCONH-, - HNCSNH-, and -NR<sup>7</sup>CO(CH<sub>2</sub>)<sub>g</sub>CONR<sup>8</sup>-; X is either a single bond or is selected from the group consisting of -(CH<sub>2</sub>)<sub>h</sub>-, -OCO-, -(CH<sub>2</sub>)<sub>t</sub>CO-, and -(CH<sub>2</sub>)<sub>t</sub>OCO-., R<sup>1</sup>, R<sup>2</sup>, R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C1-C10 polyhydroxyalkyl, -(CH<sub>2</sub>)<sub>k</sub>CO<sub>2</sub>H, and -(CH<sub>2</sub>)<sub>t</sub>NR<sup>9</sup>R<sup>10</sup>; R<sup>9</sup> and R<sup>10</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, and C1-C10 polyhydroxyalkyl; and subscripts b-e and g-j independently range from 0 to 6.

10

5

- heteroaromatic radical derived from xanthones; E is selected from the group consisting of somatostatin receptor binding molecules, ST receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, CCK receptor binding molecules, and steroid receptor binding molecules; L is selected from the group consisting of -{CH<sub>2</sub>}<sub>b</sub>CONR<sup>1</sup>-, -N(R<sup>2</sup>)CO(CH<sub>2</sub>)<sub>c</sub>-, -OCO(CH<sub>2</sub>)<sub>d</sub>-, -(CH<sub>2</sub>)<sub>e</sub>CO<sub>2</sub>-, -HNCONH-, -HNCSNH-, and -NR<sup>7</sup>CO(CH<sub>2</sub>)<sub>g</sub>CONR<sup>8</sup>-; X is either a single bond or is selected from the group consisting of -{CH<sub>2</sub>}<sub>b</sub>-, -OCO-, -{CH<sub>2</sub>}<sub>c</sub>CO-, and -{CH<sub>2</sub>}<sub>j</sub>OCO-., R<sup>1</sup>, R<sup>2</sup>, R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C1-C10 polyhydroxyalkyl, -(CH<sub>2</sub>)<sub>k</sub>CO<sub>2</sub>H, and -(CH<sub>2</sub>)<sub>l</sub>NR<sup>9</sup>R<sup>10</sup>; R<sup>9</sup> and R<sup>10</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, and C1-C10 polyhydroxyalkyl; and subscripts b-e and g-j independently range from 0 to 6.
- 10. The compound of claim 1 wherein Ar is an aromatic or heteroaromatic radical derived from anthracyclines; E is selected from the group consisting of somatostatin receptor binding molecules, ST receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, CCK receptor binding molecules, and steroid receptor binding molecules; L is selected from the group consisting of (CH<sub>2</sub>)<sub>b</sub>CONR<sup>1</sup>-, -N(R<sup>2</sup>)CO(CH<sub>2</sub>)<sub>c</sub>-, -OCO(CH<sub>2</sub>)<sub>d</sub>-, -(CH<sub>2</sub>)<sub>e</sub>CO<sub>2</sub>-, -HNCONH-, HNCSNH-, and -NR<sup>7</sup>CO(CH<sub>2</sub>)<sub>g</sub>CONR<sup>8</sup>-; X is either a single bond or is selected

from the group consisting of  $-(CH_2)_h$ -, -OCO-,  $-(CH_2)_iCO$ -, and  $-(CH_2)_jOCO$ -.,  $R^1$ ,  $R^2$ ,  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C1-C10 polyhydroxyalkyl,  $-(CH_2)_kCO_2H$ , and  $-(CH_2)_iNR^9R^{10}$ ;  $R^9$  and  $R^{10}$  are independently selected from the group consisting of hydrogen, C1-C10 alkyl, and C1-C10 polyhydroxyalkyl; and subscripts b-e and g-j independently range from 0 to 6.

15

20

11. A method of performing a phototherapeutic procedure which comprises:

(a)administering an effective amount of an organic azide photosensitizer having the formula

 $E-L-Ar-X-N_3$ 

wherein Ar is an aromatic or a heteroaromatic radical derived from the group consisting of benzenes, polyfluorobenzenes, naphthalenes, naphthoguinones, anthracenes, anthraquinones, phenanthrenes, tetracenes, naphthacenediones, pyridines, quinolines, isoquinolines, indoles, isoindoles, pyrroles, imidiazoles, pyrazoles, pyrazines, purines, benzimidazoles, benzofurans, dibenzofurans, carbazoles, acridines, acridones, phenanthridines, thiophenes, benzothiophenes, dibenzothiophenes, xanthenes, xanthones, flavones, coumarins, and anthacylines; E is a hydrogen atom or is selected from the group consisting of somatostatin receptor binding molecules, ST receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, CCK receptor binding molecules, steroid receptor binding molecules, and carbohydrate receptor binding molecules; L is selected from the group consisting of  $-(CH_2)_a$ -,  $-(CH_2)_bCONR^1$ -,  $-N(R^2)CO(CH_2)_c$ -,  $-OCO(CH_2)_d$ -, - $(CH_2)_eCO_2$ -, -OCONH-, -OCO $_2$ -, -HNCONH-, -HNCSNH-, -HNNHCO-, -OSO $_2$ -, -NR<sup>3</sup>(CH<sub>2</sub>)<sub>g</sub>CONR<sup>4</sup>-, -CONR<sup>5</sup>(CH<sub>2</sub>)<sub>f</sub>NR<sup>6</sup>CO-, and -NR<sup>7</sup>CO(CH<sub>2</sub>)<sub>q</sub>CONR<sup>8</sup>-; X is

30

5

10

either a single bond or is selected from the group consisting of -(CH<sub>2</sub>)<sub>h</sub>-, -OCO-, -HNCO-, -(CH<sub>2</sub>)<sub>i</sub>CO-, and -(CH<sub>2</sub>)<sub>j</sub>OCO-; R<sup>1</sup> to R<sup>8</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, -OH, C1-C10 polyhydroxyalkyl, C1-C10 alkoxyl, C1-C10 alkoxyalkyl, -SO<sub>3</sub>H, -(CH<sub>2</sub>)<sub>k</sub>CO<sub>2</sub>H, and -(CH<sub>2</sub>)<sub>i</sub>NR<sup>9</sup>R<sup>10</sup>; R<sup>9</sup> and R<sup>10</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C5-C10 aryl, and C1-C10 polyhydroxyalkyl; and subscripts a to I independently range from 0 to 10;

- (b) allowing said photosensitizer to accumulate in target tissue; and
- (c) exposing said target tissues with the light of wavelength between 300 and 950 nm with sufficient power and fluence rate to perform the phototherapeutic procedure.
- heteroaromatic radical derived from polyfluorbenzenes; E is selected from the group consisting of somatostatin receptor binding molecules, ST receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, CCK receptor binding molecules, and steroid receptor binding molecules; L is selected from the group consisting of -(CH<sub>2</sub>)<sub>b</sub>CONR<sup>1</sup>-, -N(R<sup>2</sup>)CO(CH<sub>2</sub>)<sub>c</sub>-, -OCO(CH<sub>2</sub>)<sub>d</sub>-, -(CH<sub>2</sub>)<sub>e</sub>CO<sub>2</sub>-, -HNCONH-, -HNCSNH-, and -NR<sup>7</sup>CO(CH<sub>2</sub>)<sub>g</sub>CONR<sup>8</sup>-; X is either a single bond or is selected from the group consisting of -(CH<sub>2</sub>)<sub>h</sub>-, -OCO-, -(CH<sub>2</sub>)<sub>i</sub>CO-, and -(CH<sub>2</sub>)<sub>j</sub>OCO-., R<sup>1</sup>, R<sup>2</sup>, R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of

10

hydrogen, C1-C10 alkyl, C1-C10 polyhydroxyalkyl, - $(CH_2)_kCO_2H$ , and - $(CH_2)_lNR^9R^{10}$ ;  $R^9$  and  $R^{10}$  are independently selected from the group consisting of hydrogen, C1-C10 alkyl, and C1-C10 polyhydroxyalkyl; and subscripts b-e and g-j independently range from 0 to 6.

- heteroaromatic radical derived from anthraquinones; E is selected from the group consisting of somatostatin receptor binding molecules, ST receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, CCK receptor binding molecules, and steroid receptor binding molecules; L is selected from the group consisting of (CH<sub>2</sub>)<sub>b</sub>CONR<sup>1</sup>-, -N(R<sup>2</sup>)CO(CH<sub>2</sub>)<sub>c</sub>-, -OCO(CH<sub>2</sub>)<sub>d</sub>-, -(CH<sub>2</sub>)<sub>e</sub>CO<sub>2</sub>-, -HNCONH-, HNCSNH-, and -NR<sup>7</sup>CO(CH<sub>2</sub>)<sub>g</sub>CONR<sup>8</sup>-; X is either a single bond or is selected from the group consisting of -(CH<sub>2</sub>)<sub>h</sub>-, -OCO-, -(CH<sub>2</sub>)<sub>i</sub>CO-, and -(CH<sub>2</sub>)<sub>i</sub>OCO-., R<sup>1</sup>, R<sup>2</sup>, R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C1-C10 polyhydroxyalkyl, -(CH<sub>2</sub>)<sub>k</sub>CO<sub>2</sub>H, and (CH<sub>2</sub>)<sub>i</sub>NR<sup>9</sup>R<sup>10</sup>; R<sup>9</sup> and R<sup>10</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, and C1-C10 polyhydroxyalkyl; and subscripts b-e and g-j independently range from 0 to 6.
- 14. The method of claim 11, wherein Ar is an aromatic or heteroaromatic radical derived from napthacenediones; E is selected from the group consisting of somatostatin receptor binding molecules, ST

10

5

10

receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, CCK receptor binding molecules, and steroid receptor binding molecules; L is selected from the group consisting of -(CH<sub>2</sub>)<sub>b</sub>CONR<sup>1</sup>-, -N(R<sup>2</sup>)CO(CH<sub>2</sub>)<sub>c</sub>-, -OCO(CH<sub>2</sub>)<sub>d</sub>-, -(CH<sub>2</sub>)<sub>e</sub>CO<sub>2</sub>-, -HNCONH-, - HNCSNH-, and -NR<sup>7</sup>CO(CH<sub>2</sub>)<sub>g</sub>CONR<sup>8</sup>-; X is either a single bond or is selected from the group consisting of -(CH<sub>2</sub>)<sub>h</sub>-, -OCO-, -(CH<sub>2</sub>)<sub>i</sub>CO-, and -(CH<sub>2</sub>)<sub>j</sub>OCO-., R<sup>1</sup>, R<sup>2</sup>, R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C1-C10 polyhydroxyalkyl, -(CH<sub>2</sub>)<sub>k</sub>CO<sub>2</sub>H, and - (CH<sub>2</sub>)<sub>i</sub>NR<sup>9</sup>R<sup>10</sup>; R<sup>9</sup> and R<sup>10</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, and C1-C10 polyhydroxyalkyl; and subscripts b-e and g-j independently range from 0 to 6.

heteroaromatic radical derived from indoles; E is selected from the group consisting of somatostatin receptor binding molecules, ST receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, CCK receptor binding molecules, and steroid receptor binding molecules; L is selected from the group consisting of -(CH<sub>2</sub>) $_b$ CONR<sup>1</sup>-, -N(R<sup>2</sup>)CO(CH<sub>2</sub>) $_c$ -, -OCO(CH<sub>2</sub>) $_d$ -, -(CH<sub>2</sub>) $_e$ CO $_2$ -, -HNCONH-, -HNCSNH-, and -NR<sup>7</sup>CO(CH $_2$ ) $_g$ CONR<sup>8</sup>-; X is either a single bond or is selected from the group consisting of -(CH $_2$ ) $_h$ -, -OCO-, -(CH $_2$ ) $_i$ CO-, and -(CH $_2$ ) $_i$ OCO-., R<sup>1</sup>, R<sup>2</sup>, R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C1-C10 polyhydroxyalkyl, -(CH $_2$ ) $_k$ CO $_2$ H, and -(CH $_2$ ) $_i$ NR<sup>9</sup>R<sup>10</sup>; R<sup>9</sup>

10

and R<sup>10</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, and C1-C10 polyhydroxyalkyl; and subscripts b-e and g-j independently range from 0 to 6.

- heteroaromatic radical derived from acridines; E is selected from the group consisting of somatostatin receptor binding molecules, ST receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, CCK receptor binding molecules, and steroid receptor binding molecules; L is selected from the group consisting of -(CH<sub>2</sub>)<sub>b</sub>CONR<sup>1</sup>-, -N(R<sup>2</sup>)CO(CH<sub>2</sub>)<sub>c</sub>-, -OCO(CH<sub>2</sub>)<sub>d</sub>-, -(CH<sub>2</sub>)<sub>e</sub>CO<sub>2</sub>-, -HNCONH-, -HNCSNH-, and -NR<sup>7</sup>CO(CH<sub>2</sub>)<sub>g</sub>CONR<sup>8</sup>-; X is either a single bond or is selected from the group consisting of -(CH<sub>2</sub>)<sub>h</sub>-, -OCO-, -(CH<sub>2</sub>)<sub>i</sub>CO-, and -(CH<sub>2</sub>)<sub>j</sub>OCO-., R<sup>1</sup>, R<sup>2</sup>, R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C1-C10 polyhydroxyalkyl, -(CH<sub>2</sub>)<sub>k</sub>CO<sub>2</sub>H, and -(CH<sub>2</sub>)<sub>i</sub>NR<sup>9</sup>R<sup>10</sup>; R<sup>9</sup> and R<sup>10</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, and C1-C10 polyhydroxyalkyl; and subscripts b-e and g-j independently range from 0 to 6.
- 17. The method of claim 11, wherein Ar is an aromatic or heteroaromatic radical derived from acridones; E is selected from the group consisting of somatostatin receptor binding molecules, ST receptor binding molecules, neurotensin receptor

10

binding molecules, CCK receptor binding molecules, and steroid receptor binding molecules; L is selected from the group consisting of -(CH<sub>2</sub>)<sub>b</sub>CONR<sup>1</sup>-, -N(R<sup>2</sup>)CO(CH<sub>2</sub>)<sub>c</sub>-, -OCO(CH<sub>2</sub>)<sub>d</sub>-, -(CH<sub>2</sub>)<sub>e</sub>CO<sub>2</sub>-, -HNCONH-, -HNCSNH-, and -NR<sup>7</sup>CO(CH<sub>2</sub>)<sub>g</sub>CONR<sup>8</sup>-; X is either a single bond or is selected from the group consisting of -(CH<sub>2</sub>)<sub>h</sub>-, -OCO-, -(CH<sub>2</sub>)<sub>i</sub>CO-, and -(CH<sub>2</sub>)<sub>j</sub>OCO-., R<sup>1</sup>, R<sup>2</sup>, R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C1-C10 polyhydroxyalkyl, -(CH<sub>2</sub>)<sub>k</sub>CO<sub>2</sub>H, and -(CH<sub>2</sub>)<sub>i</sub>NR<sup>9</sup>R<sup>10</sup>; R<sup>9</sup> and R<sup>10</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, and C1-C10 polyhydroxyalkyl; and subscripts b-e and g-j independently range from 0 to 6.

heteroaromatic radical derived from phenanthridines; E is selected from the group consisting of somatostatin receptor binding molecules, ST receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, neurotensin receptor binding molecules, and steroid receptor binding molecules; L is selected from the group consisting of - (CH<sub>2</sub>)<sub>b</sub>CONR<sup>1</sup>-, -N(R<sup>2</sup>)CO(CH<sub>2</sub>)<sub>c</sub>-, -OCO(CH<sub>2</sub>)<sub>d</sub>-, -(CH<sub>2</sub>)<sub>e</sub>CO<sub>2</sub>-, -HNCONH-, - HNCSNH-, and -NR<sup>7</sup>CO(CH<sub>2</sub>)<sub>g</sub>CONR<sup>8</sup>-; X is either a single bond or is selected from the group consisting of -(CH<sub>2</sub>)<sub>h</sub>-, -OCO-, -(CH<sub>2</sub>)<sub>i</sub>CO-, and -(CH<sub>2</sub>)<sub>j</sub>OCO-., R<sup>1</sup>, R<sup>2</sup>, R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C1-C10 polyhydroxyalkyl, -(CH<sub>2</sub>)<sub>k</sub>CO<sub>2</sub>H, and -(CH<sub>2</sub>)<sub>i</sub>NR<sup>9</sup>R<sup>10</sup>; R<sup>9</sup> and R<sup>10</sup> are independently selected from the group

10

5

consisting of hydrogen, C1-C10 alkyl, and C1-C10 polyhydroxyalkyl; and subscripts b-e and g-j independently range from 0 to 6.

- heteroaromatic radical derived from xanthones; E is selected from the group consisting of somatostatin receptor binding molecules, ST receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, CCK receptor binding molecules, and steroid receptor binding molecules; L is selected from the group consisting of -(CH<sub>2</sub>)<sub>b</sub>CONR¹-, -N(R²)CO(CH<sub>2</sub>)<sub>c</sub>-, -OCO(CH<sub>2</sub>)<sub>d</sub>-, -(CH<sub>2</sub>)<sub>e</sub>CO<sub>2</sub>-, -HNCONH-, -HNCSNH-, and -NR²CO(CH<sub>2</sub>)<sub>g</sub>CONR³-; X is either a single bond or is selected from the group consisting of -(CH<sub>2</sub>)<sub>h</sub>-, -OCO-, -(CH<sub>2</sub>)<sub>i</sub>CO-, and -(CH<sub>2</sub>)<sub>j</sub>OCO-., R¹, R², R² and R³ are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C1-C10 polyhydroxyalkyl, -(CH<sub>2</sub>)<sub>k</sub>CO<sub>2</sub>H, and -(CH<sub>2</sub>)<sub>i</sub>NR³R¹0; R³ and R¹0 are independently selected from the group consisting of hydrogen, C1-C10 alkyl, and C1-C10 polyhydroxyalkyl; and subscripts b-e and g-j independently range from 0 to 6.
- 20. The method of claim 11, wherein Ar is an aromatic or heteroaromatic radical derived from anthracyclines; E is selected from the group consisting of somatostatin receptor binding molecules, ST receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, CCK receptor binding molecules, and steroid

15

receptor binding molecules; L is selected from the group consisting of -  $(CH_2)_bCONR^1$ -,  $-N(R^2)CO(CH_2)_c$ -,  $-OCO(CH_2)_d$ -,  $-(CH_2)_eCO_2$ -, -HNCONH-, - HNCSNH-, and  $-NR^7CO(CH_2)_gCONR^8$ -; X is either a single bond or is selected from the group consisting of  $-(CH_2)_h$ -, -OCO-,  $-(CH_2)_lCO$ -, and  $-(CH_2)_lOCO$ -.,  $R^1$ ,  $R^2$ ,  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C1-C10 polyhydroxyalkyl,  $-(CH_2)_kCO_2H$ , and -  $(CH_2)_lNR^9R^{10}$ ;  $R^9$  and  $R^{10}$  are independently selected from the group consisting of hydrogen, C1-C10 alkyl, and C1-C10 polyhydroxyalkyl; and subscripts b-e and g-j independently range from 0 to 6.